

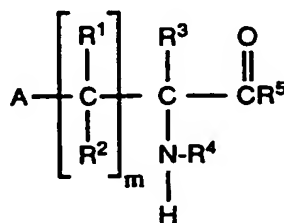
WHAT IS CLAIMED IS:

1. A conjugate comprising a first residue and a second residue, said first and second residues connected together by a cleavable bond, wherein said first residue is provided by an inhibitor compound capable of inhibiting biosynthesis of an adrenergic neurotransmitter, and wherein said second residue is capable of being cleaved from said first residue by an enzyme located predominantly in the kidney.

2. Conjugate of Claim 1 wherein said first and second residues are provided by precursor compounds, wherein the precursor compound of one of said first and second residues has a reactable carboxylic acid moiety and the precursor of the other of said first and second residues has a reactable amino moiety or a moiety convertible to a reactable amino moiety, whereby a cleavable bond may be formed between said carboxylic acid moiety and said amino moiety.

3. Conjugate of Claim 2 wherein said inhibitor compound providing said first residue is selected from tyrosine hydroxylase inhibitor compounds, dopa-decarboxylase inhibitor compounds, dopamine- β -hydroxylase inhibitor compounds, and mimics of said inhibitor compounds.

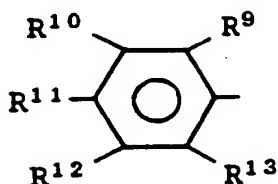
4. Conjugate of Claim 3 wherein said tyrosine hydroxylase inhibitor compound is of the formula



wherein each of R^1 through R^3 is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aryloxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl and alkynyl; wherein R^4 is selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; wherein R^5 is selected from $-OR^6$ and

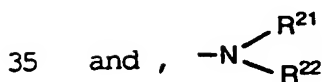
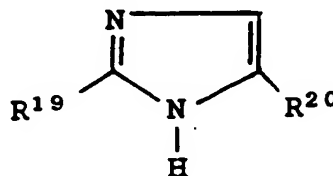
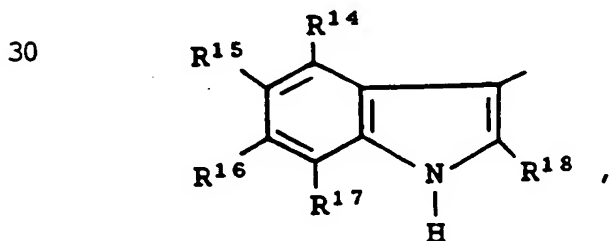
$-N \begin{smallmatrix} R^7 \\ R^6 \end{smallmatrix}$, wherein R^6 is selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl and aryl, and wherein each of R^7 and R^3 is independently selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; aralkyl; wherein m is a number selected from zero through six;

wherein A is a phenyl ring of the formula



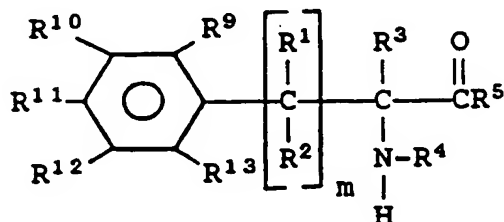
wherein each of R^9 through R^{13} is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano,

amino, monoalkylamino, dialkylamino, carboxyl,
 carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl,
 cyanoamino, carboxyl, cyano, thiocarbamoyl, aminomethyl,
 alkylsulfanamido, nitro, alkylsulfonyloxy, carboxyalkoxy,
 5 formyl and a substituted or unsubstituted 5- or 6-membered
 heterocyclic ring selected from the group consisting of
 pyrrol-1-yl, 2-carboxypyrrol-1-yl, imidazol-2-ylamino,
 indol-1-yl, carbozol-9-yl, 4,5-dihydro-4-hydroxy-4-
 trifluoro-methylthiazol-3-yl, 4-trifluoromethylthiazol-2-
 10 yl, imidazol-2-yl and 4,5-dihydroimidazol-2-yl; wherein any
 two of the R^9 through R^{13} groups may be taken together to
 form a benzoheterocyclic ring selected from the group
 consisting of indolin-5-yl, 1-(N-
 benzoylcarbamimidoyl)indolin-5-yl, 1-carbamimidoylindolin-
 15 5-yl, 1H-2-oxindol-5-yl, insol-5-yl, 2-
 mercaptobenzimidazol-5(6)-yl, 2-aminobenzimidazol-5(6)-yl,
 2-methanesulfonamidobenzimidazol-5(6)-yl, 1H-benzoxanol-2-
 on-6-yl, 2-aminobenzothiazol-6-yl, 2-amino-4-
 mercaptobenzothiazol-6-yl, 2,1,3-benzothiadiazol-5-yl, 1,3-
 20 dihydro-2,2-dioxo-2,1,3-benzothiadiazol-5-yl, 1,3-dihydro-
 1,3-dimethyl-2,2-dioxo-2,1,3-benzothiadiazol-5-yl, 4-
 methyl-2(H)oxoquinolin-6-yl, quinoxalin-6-yl, 2-
 hydroxyquinoxalin-6-yl, 2-hydroxyquinoxalin-7-yl, 2,3-
 dihydroxyquinoxalin-6-yl and 2,3-dihydro-3(4H)-oxo-1,4-
 25 benzoxazin-7-yl; 5-hydroxy-4H-pyran-4-on-2-yl, 2-
 hydroxypyrid-4-yl, 2-aminopyrid-4-yl, 2-carboxypyrid-4-yl
 or tetrazolo-[1,5-a]pyrid-7-yl; and wherein A may be
 selected from

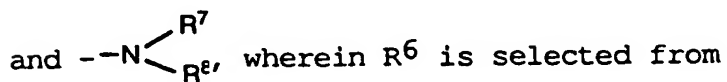


wherein each of R^{14} through R^{20} is independently selected from hydrido, alkyl, hydroxy, hydroxyalkyl, alkoxy, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, aryloxy, alkoxy-carboxyl, aryl, aralkyl, cyano, cyanoalkyl, amino, monoalkylamino and dialkylamino, wherein each of R^{21} and R^{22} is independently selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxy-carbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; or a pharmaceutically-acceptable salt thereof.

5. Conjugate of Claim 4 wherein said inhibitor compound is of the formula



wherein each of R^1 and R^2 is hydrido; wherein m is one; wherein R^3 is selected from alkyl, alkenyl and alkynyl; wherein R^4 is selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxy-carbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; wherein R^5 is selected from OR^6 and



hydrido, alkyl, cycloalkyl, cycloalkylalkyl, phenalkyl and phenyl, and wherein each of R^7 and R^8 is independently selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl,

haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; wherein each of R⁹ through R¹³ is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxycarbonyl, alkoxy, aryloxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl, pyrrol-1-yl 2-carboxypyrrol-1-yl, imidazol-2-ylamino, indol-1-yl, carbazol-9-yl, 4,5-dihydro-4-trifluoromethylthiazol-3-yl, 4-trifluoromethylthiazol-2-yl, imidazol-2-yl and 4,5-dihydroimidazol-2-yl, and wherein any two of the R⁹ through R¹³ groups may be taken together to form a benzoheterocyclic ring selected from the group consisting of indolin-5-yl, 1-(N-benzoylcarbamidoyl)indolin-5-yl, 1-carbamimidoylindolin-5-yl, 1H-2oxindol-5-yl, indol-5-yl, 2-mercaptobenzimidazol-5(6)yl, 2-aminobenzimidazol-5(6)-yl, 2-methanesulfonamidobenzimidazol-5(6)-yl, 1H-benzoxanol-2-on-6-yl, 2-aminobenzothiazol-6-yl, 2-amino-4-mercaptobenzothiazol-6-yl, 2,1,3-benzothiadiazol-5-yl, 1,3-dihydro-2,2-dioxo-2,1,3-benzothiadiazol-5-yl, 1,3-dihydro-1,3-dimethyl-2,2-dioxo-2,1,3-benzothiadiazol-5-yl, 4-methyl-2(H)oxoquinolin-6-yl, quinoxalin-6-yl, 2-hydroxyquinoxalin-6-yl, 2-hydroxyquinoxalin-7-yl, 2,3-dihydroxyquinoxalin-6-yl and 2,3-dihydro-3(4H)-oxo-1,4-benzoxazin-7-yl; wherein R³ is -CH=CH₂ or -C≡CH; wherein R⁵ is selected from OR⁶ and $-N \begin{smallmatrix} R^7 \\ R^6 \end{smallmatrix}$, wherein R⁶ is selected from hydrido, alkyl, hydroxy, hydroxyalkyl, alkoxy, halo, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, amino, monoalkylamino, dialkylamino; and wherein each of R⁷ and R³ independently is selected from hydrido, alkyl, hydroxyalkyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or a pharmaceutically-acceptable salt thereof.

6. Conjugate of Claim 5 wherein said inhibitor compound is selected from the group consisting of
- 4-cyanoamino- α -methylphenylalanine;
 - 5 3-carboxy- α -methylphenylalanine;
 - 3-cyano- α -methylphenylalanine methyl ester;
 - α -methyl-4-thiocarbamoylphenylalanine methyl ester;
 - 4-(aminomethyl)- α -methylphenylalanine;
 - 4-guanidino- α -methylphenylalanine;
 - 10 3-hydroxy-4-methanesulfonamido- α -methylphenylalanine;
 - 3-hydroxy-4-nitro- α -methylphenylalanine;
 - 4-amino-3-methanesulfonyloxy- α -methylphenylalanine;
 - 3-carboxymethoxy-4-nitro- α -methylphenylalanine;
 - α -methyl-4-amino-3-nitrophenylalanine;
 - 15 3,4-diamino- α -methylphenylalanine;
 - α -methyl-4-(pyrrol-1-yl)phenylalanine;
 - 4-(2-aminoimidazol-1-yl)- α -methylphenylalanine;
 - 4-(imidazol-2-ylamino)- α -methylphenylalanine;
 - 4-(4,5-dihydro-4-hydroxy-4-trifluoromethyl-thiazol-2-yl) α -
 - 20 methylphenylalanine methyl ester;
 - α -methyl-4-(4-trifluoromethylthiazol-2-yl)phenylalanine;
 - α -methyl-3-(4-trifluoromethylthiazol-2-yl)-phenylalanine;
 - 4-(imidazol-2-yl)- α -methylphenylalanine;
 - 4-(4,5-dihydroimidazol-2-yl)- α -methylphenylalanine;
 - 25 3-(imidazol-2-yl)- α -methylphenylalanine;
 - 3-(4,5-dihydroimidazol-2-yl)- α -methylphenylalanine;
 - 4-(imidazol-2-yl)phenylalanine;
 - 4,5-dihydroimidazol-2-yl)phenylalanine;
 - 3-(imidazol-2-yl)phenylalanine;
 - 30 3-(2,3-dihydro-1H-indol-4-yl)- α -methylalanine;
 - α -methyl-3-(1H-2-oxindol-5-yl)alanine;
 - 3-[1-(N-benzoylcarbamidoyl)-2,3-dihydro-1H-indol-5-yl]- α -
 - methylalanine;
 - 3-1[-carbamidoyl-2,3-dihydro-1H-indol-5-yl]- α -
 - 35 methylalanine;
 - 3-(1H-indol-5-yl)- α -methylalanine;

- 3-(benzimidazol-2-thione-5-yl)- α -methylalanine;
 3-(2-aminobenzimidazol-5-yl)-2-methylalanine;
 2-methyl-3-(benzoxazol-2-on-6-yl)alanine;
 3-(2-aminobenzothiazol-6-yl)-2-methylalanine;
 5 3-(2-amino-4-mercaptobenzothiazol-6-yl)-2-methylalanine;
 3-(2-aminobenzothiazol-6-yl)alanine;
 2-methyl-3-(2,1,3-benzothiadiazol-5-yl)alanine;
 3-(1,3-dihydrobenzo-2,1,3-thiadiazol-5-yl)-2-methylalanine-
 2,2-
 10 dioxide;
 3-(1,3-dihydrobenzo-2,1,3-thiadiazol-5-yl)-2-methylalanine-
 2,2-
 dioxide methyl ester;
 3-(1,3-dihydrobenzo-2,1,3-thiadiazol-5-yl)alanine 2,2-
 15 dioxide;
 3-(1,3-dihydro-1,3-dimethylbenzo-2,1,3-thiadiazol-5-yl)-2-
 methylalanine 2,2-dioxide;
 α -methyl-3-[4-methyl-2(1H)-oxoquinolin-6-yl]alanine;
 3-[4-methyl-2(1H)-oxoquinolin-6-yl]alanine;
 20 2-methyl-3-(quinoxalin-6-yl)alanine;
 2-methyl-3-(2-hydroxyquinoxalin-6-yl)alanine;
 2-methyl-3-(2-hydroxyquinoxalin-7-yl)alanine;
 3-(2,3-dihydroxyquinoxalin-6-yl)-2-methylalanine;
 3-(quinoxalin-6-yl)alanine;
 25 3-(2,3-dihydroxyquinoxalin-6-yl)alanine;
 3-(1,4-benzoxazin-3-one-6-yl)-2-methylalanine;
 3-(1,4-benzoxazin-3-one-7-yl)alanine;
 3-(5-hydroxy-4H-pyran-4-on-2-yl)-2-methylalanine;
 3-(2-hydroxy-4-pyridyl)-2-methylalanine;
 30 3-(2-carboxy-4-pyridyl)-2-methylamine;
 α -methyl-4-(pyrrol-1-yl)phenylalanine;
 α -ethyl-4-(pyrrol-1-yl)phenylalanine;
 α -propyl-4-(pyrrol-1-yl)phenylalanine;
 4-[2-(carboxy)pyrrol-1-yl]phenylalanine;
 35 α -methyl-4-(pyrrol-1-yl)phenylalanine;
 3-hydroxy- α -methyl-4-(pyrrol-1-yl)phenylalanine;

- 3-methoxy- α -methyl-4-(pyrrol-1-yl)phenylalanine;
 4-methoxy- α -methyl-3-(pyrrol-1-yl)phenylalanine;
 4-(indol-1-yl)- α -methylphenylalanine;
 4-(carbazol-9-yl)- α -methylphenylalanine;
 5 2-methyl-3-(2-methanesulfonylamidobenzimidazol-5-yl)alanine;
 2-methyl-3-(2-amino-4-pyridyl)alanine;
 2-methyl-3[tetrazolo-(1,5)- α -pyrid-7-yl]alanine;
 D,L- α -methyl- β -(4-hydroxy-3-methyl)phenylalanine;
 10 D,L- α -methyl- β -(4-hydroxy-3-phenyl)phenylalanine;
 D,L- α -methyl- β -(4-hydroxy-3-benzyl)phenylalanine;
 D,L- α -methyl- β -(4-methoxy-3-cyclohexyl)phenylalanine;
 a, b, b trimethyl- β -(3,4-dihydroxyphenyl)alanine;
 a, b, b trimethyl- β -(4-hydroxyphenyl)alanine;
 15 N-methyl a, b, b, trimethyl- β -(3,4-dihydroxyphenyl)alanine;
 D,L a, b, b trimethyl- β -(3,4-dihydroxyphenyl)alanine;
 a, b, b trimethyl- β -(3,4-dimethoxyphenyl)alanine;
 L- α -methyl- β -3,4-dihydroxyphenylalanine;
 L- α -ethyl- β -3,4-dihydroxyphenylalanine;
 20 L- α -propyl- β -3,4-dihydroxyphenylalanine;
 L- α -butyl- β -3,4-dihydroxyphenylalanine;
 L- α -methyl- β -2,3-dihydroxyphenylalanine;
 L- α -ethyl- β -2,3-dihydroxyphenylalanine;
 L- α -propyl- β -2,3-dihydroxyphenylalanine;
 25 L- α -butyl- β -2,3-dihydroxyphenylalanine;
 L- α -methyl-4-chloro-2,3-dihydroxyphenylalanine;
 L- α -ethyl-4-chloro-2,3-dihydroxyphenylalanine;
 L- α -propyl-4-chloro-2,3-dihydroxyphenylalanine;
 L- α -butyl-4-chloro-2,3-dihydroxyphenylalanine;
 30 L- α -ethyl- β -4-methyl-2,3-dihydroxyphenylalanine;
 L- α -methyl- β -4-methyl-2,3-dihydroxyphenylalanine;
 L- α -propyl- β -4-methyl-2,3-dihydroxyphenylalanine;
 L- α -butyl- β -4-methyl-2,3-dihydroxyphenylalanine;
 L- α -methyl- β -4-fluoro-2,3-dihydroxyphenylalanine;
 35 L- α -ethyl- β -4-fluoro-2,3-dihydroxyphenylalanine;

- L- α -propyl- β -4-fluoro-2,3-dihydroxyphenylalanine; L- α -butyl- β -4-fluoro-2,3-dihydroxyphenylalanine;
 L- α -methyl- β -4-trifluoromethyl-2,3-dihydroxyphenylalanine
 L- α -ethyl- β -4-trifluoromethyl-2,3-dihydroxyphenylalanine
 5 L- α -propyl- β -4-trifluoromethyl-2,3-dihydroxyphenylalanine
 L- α -butyl- β -4-trifluoromethyl-2,3-dihydroxyphenylalanine
 L- α -methyl- β -3,5-dihydroxyphenylalanine;
 L- α -ethyl- β -3,5-dihydroxyphenylalanine;
 L- α -propyl- β -3,5-dihydroxyphenylalanine;
 10 L- α -butyl- β -3,5-dihydroxyphenylalanine;
 L- α -methyl- β -4-chloro-3,5-dihydroxyphenylalanine;
 L- α -ethyl- β -4-chloro-3,5-dihydroxyphenylalanine;
 L- α -propyl- β -4-chloro-3,5-dihydroxyphenylalanine;
 L- α -butyl- β -4-chloro-3,5-dihydroxyphenylalanine;
 15 L- α -methyl- β -4-fluoro-3,5-dihydroxyphenylalanine;
 L- α -ethyl- β -4-fluoro-3,5-dihydroxyphenylalanine;
 L- α -propyl- β -4-fluoro-3,5-dihydroxyphenylalanine;
 L- α -butyl- β -4-fluoro-3,5-dihydroxyphenylalanine;
 L- α -methyl- β -4-trifluoromethyl-3,5-dihydroxyphenylalanine;
 20 L- α -ethyl- β -4-trifluoromethyl-3,5-dihydroxyphenylalanine;
 L- α -propyl- β -4-trifluoromethyl-3,5-dihydroxyphenylalanine;
 L- α -butyl- β -4-trifluoromethyl-3,5-dihydroxyphenylalanine;
 L- α -methyl-2,5-dihydroxyphenylalanine;
 L- α -ethyl-2,5-dihydroxyphenylalanine;
 25 L- α -propyl-2,5-dihydroxyphenylalanine;
 L- α -butyl-2,5-dihydroxyphenylalanine;
 L- α -methyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 L- α -ethyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 L- α -propyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 30 L- α -butyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 L- α -methyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 L- α -ethyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 L- α -propyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 L- α -butyl- β -4-chloro-2,5-dihydroxyphenylalanine;
 35 L- α -methyl- β -methyl-2,5-dihydroxyphenylalanine;
 L- α -ethyl- β -methyl-2,5-dihydroxyphenylalanine;

- L- α -propyl- β -methyl-2,5-dihydroxyphenylalanine;
 L- α -butyl- β -methyl-2,5-dihydroxyphenylalanine;
 L- α -methyl- β -4-trifluoromethyl-2,5-dihydroxyphenylalanine;
 L- α -ethyl- β -4-trifluoromethyl-2,5-dihydroxyphenylalanine;
 5 L- α -propyl- β -4-trifluoromethyl-2,5-dihydroxyphenylalanine;
 L- α -butyl- β -4-trifluoromethyl-2,5-dihydroxyphenylalanine;
 L- α -methyl- β -3,4,5-trihydroxyphenylalanine;
 L- α -ethyl- β -3,4,5-trihydroxyphenylalanine;
 L- α -propyl- β -3,4,5-trihydroxyphenylalanine;
 10 L- α -butyl- β -3,4,5-trihydroxyphenylalanine;
 L- α -methyl- β -2,3,4-trihydroxyphenylalanine;
 L- α -ethyl- β -2,3,4-trihydroxyphenylalanine;
 L- α -propyl- β -2,3,4-trihydroxyphenylalanine;
 L- α -butyl- β -2,3,4-trihydroxyphenylalanine;
 15 L- α -methyl- β -2,4,5-trihydroxyphenylalanine;
 L- α -ethyl- β -2,4,5-trihydroxyphenylalanine;
 L- α -propyl- β -2,4,5-trihydroxyphenylalanine;
 L- α -butyl- β -2,4,5-trihydroxyphenylalanine;
 L-phenylalanine;
 20 D,L- α -methylphenylalanine;
 D,L-3-iodophenylalanine;
 D,L-3-iodo- α -methylphenylalanine;
 3-iodotyrosine;
 3,5-diiodotyrosine;
 25 L- α -methylphenylalanine;
 D,L- α -methyl- β -(4-hydroxy-3-methylphenyl)alanine;
 D,L- α -methyl- β -(4-methoxy-3-benzylphenyl)alanine;
 D,L- α -methyl- β -(4-hydroxy-3-benzylphenyl)alanine;
 D,L- α -methyl- β -(4-methoxy-3-cyclohexylphenyl)alanine;
 30 D,L- α -methyl- β -(4-hydroxy-3-cyclohexylphenyl)alanine;
 D,L- α -methyl- β -(4-methoxy-3-methylphenyl)alanine;
 D,L- α -methyl- β -(4-hydroxy-3-methylphenyl)alanine;
 N,O-dibenzoyloxycarbonyl-D,L- α -methyl- β -(4-hydroxy-3
 methylphenyl)alanine;
 35 N,O-dibenzoyloxycarbonyl-D,L- α -methyl- β -(4-hydroxy-3
 methylphenyl)alanine amide;

- D,L- α -methyl- β -(4-hydroxy-3-methylphenyl) alanine amide;
 N,O-diacetyl-D,L- α -methyl- β -(4-hydroxy-3-methyl-phenyl) alanine;
 D,L-N-acetyl- α -methyl- β -(4-hydroxy-3-methylphenyl) alanine;
 5 L-3,4-dihydroxy- α -methylphenylalanine;
 L-4-hydroxy-3-methoxy- α -methylphenylalanine;
 L-3,4-methylene-dioxy- α -methylphenylalanine;
 2-vinyl-2-amino-3-(2-methoxyphenyl)propionic acid;
 2-vinyl-2-amino-3-(2,5-dimethoxyphenyl)propionic acid;
 10 2-vinyl-2-amino-3-(2-imidazolyl)propionic acid;
 2-vinyl-2-amino-3-(2-methoxyphenyl)propionic acid ethyl ester;
 α -methyl- β -(2,5-dimethoxyphenyl) alanine;
 α -methyl- β -(2,5-dihydroxyphenyl) alanine;
 15 α -ethyl- β -(2,5-dimethoxyphenyl) alanine;
 α -ethyl- β -(2,5-dihydroxyphenyl) alanine;
 α -methyl- β -(2,4-dimethoxyphenyl) alanine;
 α -methyl- β -(2,4-dihydroxyphenyl) alanine;
 α -ethyl- β -(2,4-dimethoxyphenyl) alanine;
 20 α -ethyl- β -(2,4-dihydroxyphenyl) alanine;
 α -methyl- β -(2,5-dimethoxyphenyl) alanine ethyl ester;
 2-ethynyl-2-amino-3-(3-indolyl)propionic acid;
 2-ethynyl-2,3-(2-methoxyphenyl)propionic acid;
 2-ethynyl-2,3-(5-hydroxyindol-3-yl)propionic acid;
 25 2-ethynyl-2-amino-3-(2,5-dimethoxyphenyl)propionic acid;
 2-ethynyl-2-amino-3-(2-imidazolyl)propionic acid;
 2-ethynyl-2-amino-3-(2-methoxyphenyl)propionic acid ethyl ester;
 3-carbomethoxy-3-(4-benzyloxybenzyl)-3-aminoprop-1-yne;
 30 α -ethynyltyrosine hydrochloride;
 α -ethynyltyrosine;
 α -ethynyl-m-tyrosine;
 α -ethynyl- β -(2-methoxyphenyl) alanine;
 α -ethynyl- β -(2,5-dimethoxyphenyl) alanine; and
 35 α -ethynylhistidine.

7. Conjugate of Claim 5 wherein at least one of R¹⁰, R¹¹ and R¹² is selected from hydroxy, alkoxy, aryloxy, aralkoxy and alkoxycarbonyl; or a pharmaceutically-acceptable salt thereof.

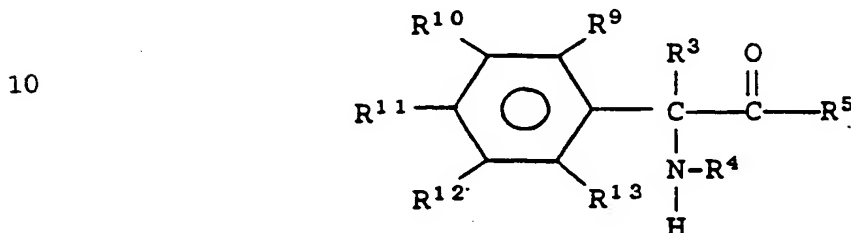
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8. Conjugate of Claim 7 wherein said inhibitor compound is selected from the group consisting of
- α-methyl-3-(pyrrol-1-yl)tyrosine;
 - α-methyl-3-(4-trifluoromethylthiazol-2-yl)tyrosine;
 - 10 3-(imidazol-2-yl)-β-methyltyrosine;
 - L-α-methyl-m-tyrosine;
 - L-α-ethyl-m-tyrosine;
 - L-α-propyl-m-tyrosine;
 - L-α-butyl-m-tyrosine;
 - 15 L-α-methyl-p-chloro-m-tyrosine;
 - L-α-ethyl-p-chloro-m-tyrosine;
 - L-α-butyl-p-chloro-m-tyrosine;
 - L-α-methyl-p-bromo-m-tyrosine;
 - L-α-ethyl-p-bromo-m-tyrosine;
 - 20 L-α-butyl-p-bromo-m-tyrosine;
 - L-α-methyl-p-fluoro-m-tyrosine;
 - L-α-methyl-p-iodo-m-tyrosine;
 - L-α-ethyl-p-iodo-m-tyrosine;
 - L-α-methyl-p-methyl-m-tyrosine;
 - 25 L-α-methyl-p-ethyl-m-tyrosine;
 - L-α-ethyl-p-ethyl-m-tyrosine;
 - L-α-ethyl-p-methyl-m-tyrosine;
 - L-α-methyl-p-butyl-m-tyrosine;
 - L-α-methyl-p-trifluoromethyl-m-tyrosine;
 - 30 L-3-iodotyrosine;
 - L-3-chlorotyrosine;
 - L-3,5-diiodotyrosine;
 - L-α-methyltyrosine;
 - D,L-α-methyltyrosine;
 - 35 D,L-3-iodo-α-methyltyrosine;
 - L-3-bromo-α-methyltyrosine;

D,L-3-bromo-a-methyltyrosine;
 L-3-chloro-a-methyltyrosine;
 D,L-3-chloro-a-methyltyrosine; and
 2-vinyl-2-amino-3-(4-hydroxyphenyl)propionic acid.

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9. Conjugate of Claim 4 wherein said inhibitor compound is of the formula



15 wherein R^3 is selected from alkyl, alkenyl and alkynyl;
 wherein R^4 is selected from hydrido, alkyl, cycloalkyl,
 hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl,
 aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino,
 cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl,
 20 alkylsulfonyl, arylsulfinyl and arylsulfonyl; wherein m is
 a number selected from zero through five, inclusive;
 wherein R^5 is selected from OR^6 and

25 $-\text{N} \begin{array}{l} \diagup \text{R}^7 \\ \diagdown \text{R}^6 \end{array}$, wherein R^6 is selected from

hydrido, alkyl, cycloalkyl, cycloalkylalkyl, phenalkyl and
 phenyl, and wherein each of R^7 and R^3 is independently
 30 selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl,
 haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl,
 alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino,
 monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl,
 arylsulfinyl and arylsulfonyl; wherein each of R^9 through
 35 R^{13} is independently selected from hydrido, hydroxy, alkyl,

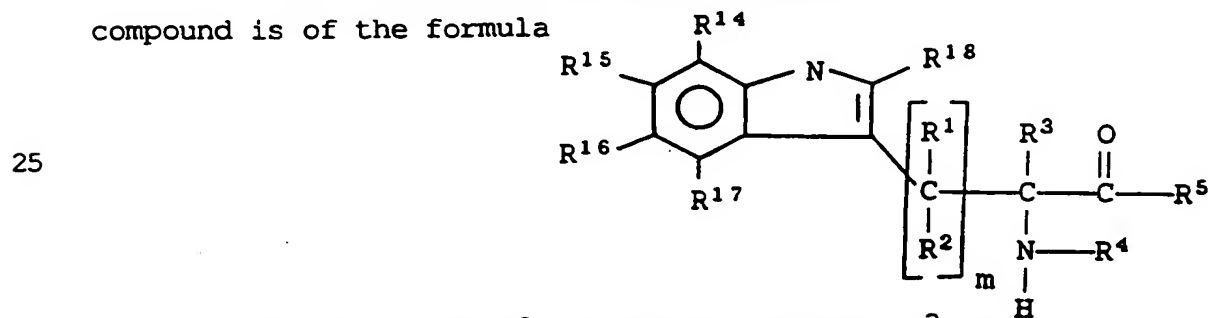
cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxycarbonyl, alkoxy, aryloxy, aralkoxy, alkoxyalkyl, haloalkyl, alkoxycarbonyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl and alkynyl; or a pharmaceutically-acceptable salt thereof.

10. Conjugate of Claim 9 wherein at least one of R^{10} , R^{11} and R^{12} is selected from hydroxy, alkoxy, aryloxy, aralkoxy and alkoxycarbonyl; or a pharmaceutically-acceptable salt thereof.

11. Conjugate of Claim 10 wherein said inhibitor compound is selected from the group consisting of methyl(+)-2-(4-hydroxyphenyl)glycinate; isopropyl and 3-methyl butyl esters of (+)-2-(4-hydroxyphenyl)glycine; (+)-2-(4-hydroxyphenyl)glycine; 2-(4-hydroxyphenyl)glycine; (+)-2-(4-methoxyphenyl)glycine; and (+)-2-(4-hydroxyphenyl)glycinamide.

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12. Conjugate of Claim 4 wherein said inhibitor compound is of the formula



wherein each of R^1 and R^2 is hydrido; wherein R^3 is selected from alkyl, alkenyl and alkynyl; wherein R^4 is selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; wherein m is a number selected from zero through five, inclusive; wherein each of

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R¹⁴ through R¹⁷ is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cyclo-alkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, 5 cycloalkenyl, alkynyl, cyanoamino, carboxyl, cyano, thiocarbamoyl, aminomethyl, alkylsulfanamido, nitro, alkylsulfonyloxy, carboxyalkoxy and formyl; or a pharmaceutically-acceptable salt thereof.

10

13. Conjugate of Claim 12 wherein said inhibitor compound is selected from the group consisting of L-a-methyltryptophan; D,L-5-methyltryptophan; 15 D,L-5-chlorotryptophan; D,L-5-bromotryptophan; D,L-5-iodotryptophan; L-5-hydroxytryptophan; D,L-5-hydroxy-a-methyltryptophan; 20 α-ethynyltryptophan; 5-Methoxymethoxy-α-ethynyltryptophan; and 5-Hydroxy-α-ethynyltryptophan.

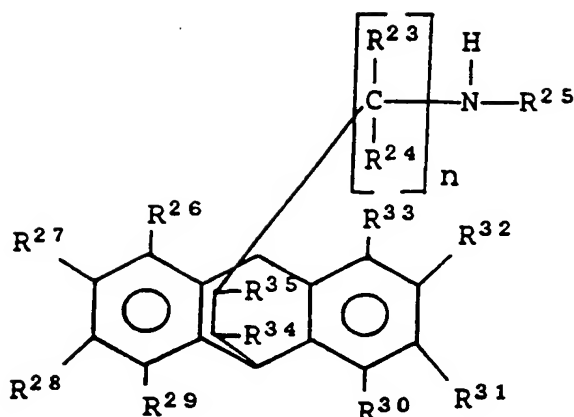
14. Conjugate of Claim 4 wherein A is

25 $-N \begin{matrix} \nearrow R^{21} \\ \searrow R^{22} \end{matrix}$, and m is a number selected from zero to three, inclusive; or a pharmaceutically-acceptable salt thereof.

15. Conjugate of Claim 14 wherein said inhibitor compound is selected from the group consisting of 30 2-vinyl-2-amino-5-aminopentanoic acid and 2-ethynyl-2-amino-5-aminopentanoic acid.

16. Conjugate of Claim 4 wherein said inhibitor compound is of the formula

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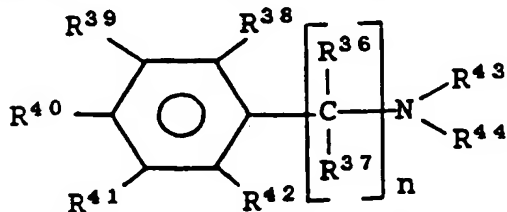


10 wherein each of R^{23} and R^{24} is independently selected from
 hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl,
 aralkyl, aryl, alkoxy, aralkoxy, aryloxy, alkoxyalkyl,
 haloalkyl, hydroxyalkyl, halo, cyano, amino,
 monoalkylamino, dialkylamino, carboxy, carboxyalkyl,
 15 alkanoyl, alkenyl, cycloalkenyl and alkynyl; wherein R^{25} is
 selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl,
 haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl,
 alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino,
 monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl,
 20 arylsulfinyl and arylsulfonyl; wherein each of R^{26} through
 R^{35} is independently selected from hydrido, hydroxy, alkyl,
 cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy,
 aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo,
 cyano, amino, monoalkylamino, dialkylamino, carboxyl,
 25 carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl,
 cyanoamino, carboxyl, cyano, thiocarbamoyl, aminomethyl,
 alkylsulfanamido, nitro, alkylsulfonyloxy, alkoxy and
 formyl; wherein n is a number selected from zero to five,
 inclusive; or a pharmaceutically-acceptable salt thereof.

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17. Conjugate of Claim 16 wherein said
 inhibitor compound is benzoctamine.

18. Conjugate of Claim 3 wherein said inhibitor compound is a dopa-decarboxylase inhibitor of the formula



Wherein each of R^{36} through R^{42} is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl, cyanoamino, cyano, thiocarbamoyl, aminomethyl, alkylsulfanamido, nitro, alkylsulfonyloxy, carboxyalkoxy and formyl; wherein n is a whole number from zero through four; wherein each of R^{43} and R^{44} is independently selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, alkenyl, cycloalkenyl and alkynyl; and wherein any R^{43} and R^{44} substituent having a substitutable position may be further substituted with one or more groups selected from hydroxyalkyl, halo, haloalkyl, carboxyl, alkoxyalkyl, alkoxycarbonyl; with the proviso that R^{43} and R^{44} cannot both be carboxyl at the same time, with the further proviso that when R^{36} is hydrido then R^{37} cannot be carboxyl, and with the further proviso that at least one of R^{43} through R^{44} must be a primary or secondary amino group; or a pharmaceutically-acceptable salt thereof.

19. Conjugate of Claim 18 wherein each of R^{36} through R^{42} is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl,

alkoxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl, cyanoamino, cyano, aminomethyl, carboxyalkoxy and formyl;

5 wherein n is a whole number from one through three; wherein each of R⁴³ and R⁴⁴ is independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxyalkyl, haloalkyl, hydroxyalkyl, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl and

10 alkanoyl; and wherein any R⁴³ and R⁴⁴ substituent having a substitutable position may be further substituted with one or more groups selected from hydroxyalkyl, halo, haloalkyl, carboxyl, alkoxyalkyl, alkoxycarbonyl; or a pharmaceutically-acceptable salt thereof.

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20. Conjugate of Claim 19 wherein each of R³⁶ through R⁴² is independently selected from hydrido, hydroxy, alkyl, benzyl, phenyl, alkoxy, benzyloxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, amino,

20 monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, cyanoamino, cyano, aminomethyl, carboxyl, carboxyalkoxy and formyl; wherein n is one or two; wherein each of R⁴³ and R⁴⁴ is independently selected from hydrido, alkyl, benzyl, phenyl, alkoxyalkyl, haloalkyl,

25 hydroxyalkyl, cyano, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl and alkanoyl; and wherein any R⁴³ and R⁴⁴ substituent having a substitutable position may be further substituted with one or more groups selected from hydroxyalkyl, halo, haloalkyl, carboxyl, alkoxyalkyl,

30 alkoxycarbonyl; or a pharmaceutically-acceptable salt thereof.

21. Conjugate of Claim 20 wherein each of R³⁶ through R⁴² is independently selected from hydrido,

35 hydroxy, alkyl, alkoxy, haloalkyl, hydroxyalkyl, amino, monoalkylamino, carboxyl, carboxyalkyl, aminomethyl,

carboxyalkoxy and formyl; wherein n is one or two; wherein each of R⁴³ and R⁴⁴ is independently selected from hydrido, alkyl, haloalkyl, hydroxyalkyl, amino, monoalkylamino, carboxyl and carboxyalkyl; and wherein any R⁴³ and R⁴⁴ substituent having a substitutable position may be further substituted with one or more groups selected from hydroxyalkyl, halo, haloalkyl, carboxyl, alkoxyalkyl, alkoxycarbonyl; or a pharmaceutically-acceptable salt thereof.

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22. Conjugate of Claim 21 wherein each of R³⁶ and R⁴² is hydrido and n is one; wherein each of R³³ through R⁴² is independently selected from hydroxy, alkyl, alkoxy, haloalkyl, hydroxyalkyl, amino, monoalkylamino, carboxyl, carboxyalkyl, aminomethyl, carboxyalkoxy and formyl; wherein each of R⁴³ and R⁴⁴ is independently selected from hydrido, alkyl, haloalkyl, hydroxyalkyl, amino, monoalkylamino, carboxyl and carboxyalkyl; and wherein any R⁴³ and R⁴⁴ substituent having a substitutable position may be further substituted with one or more groups selected from hydroxyalkyl, halo, haloalkyl, carboxyl, alkoxyalkyl, alkoxycarbonyl; or a pharmaceutically-acceptable salt thereof.

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23. Conjugate of Claim 22 wherein said inhibitor compound is selected from (2,3,4-trihydroxy)benzylhydrazine; 1-(D,L-seryl-2-(2,3,4-trihydroxybenzyl)hydrazine; and 1-(3-hydroxyl-benzyl)-1-methylhydrazine.

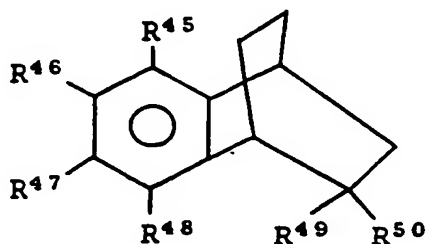
24. Conjugate of Claim 21 wherein each of R³⁶ and R³⁷ is independently selected from hydrido, alkyl and amino and n is two; wherein each of R³⁸ through R⁴² is independently selected from hydroxy, alkyl, alkoxy,

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haloalkyl, hydroxyalkyl, amino, monoalkylamino, carboxyl, carboxyalkyl, aminomethyl, carboxyalkoxy and formyl; wherein each of R^{43} and R^{44} is independently selected from hydrido, alkyl, haloalkyl, hydroxyalkyl, amino, monoalkylamino, carboxyl and carboxyalkyl; or a pharmaceutically-acceptable salt thereof.

25. Conjugate of Claim 24 wherein said inhibitor compound is selected from 2-hydrazino-2-methyl-3-(3,4-dihydroxyphenyl)propionic acid; α -(monofluoromethyl)dopa; α -(difluoromethyl)dopa; and α -methyldopa.

26. Conjugate of Claim 3 wherein said inhibitor compound is a dopa-decarboxylase inhibitor of the formula



wherein each of R^{45} through R^{48} is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl, cyanoamino, cyano, thiocarbamoyl, aminomethyl, alkylsulfanamido, nitro, alkylsulfonyloxy, carboxyalkoxy and formyl; wherein each of R^{49} and R^{50} is independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxyalkyl, haloalkyl, hydroxyalkyl,

cyano, amino, monoalkylamino, dialkylamino, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl and

$$\begin{array}{c} \text{O} \\ || \\ -\text{CR}^{51} \end{array}$$
 wherein R⁵¹ is selected from hydroxy, alkoxy, aryloxy, aralkoxy, amino, monoalkylamino and dialkylamino; with the proviso that R⁴⁹ and R⁵⁰ cannot both be carboxyl at the same time, and with the further proviso that at least one of R⁴⁵ through R⁴³ is a primary or secondary amino group or a carboxyl group; or a pharmaceutically-acceptable salt thereof.

27. Conjugate of Claim 26 wherein each of R⁴⁵ through R⁴³ is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl, alkynyl, cyanoamino, cyano, aminomethyl, carboxyalkoxy and formyl; wherein each of R⁴⁹ and R⁵⁰ is independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxyalkyl, haloalkyl, hydroxyalkyl, cyano, amino, monoalkylamino, dialkylamino, carboxyalkyl and alkanoyl and

$$\begin{array}{c} \text{O} \\ || \\ -\text{CR}^{51} \end{array}$$
 wherein R⁵¹ is selected from hydroxy, alkoxy, phenoxy, benzyloxy, amino, monoalkylamino and dialkylamino; or a pharmaceutically-acceptable salt thereof.

28. Conjugate of Claim 27 wherein each of R⁴⁵ through R⁴⁸ is independently selected from hydrido, hydroxy, alkyl, benzyl, phenyl, alkoxy, benzyloxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, cyano, amino, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl, alkanoyl, cyanoamino, cyano, aminomethyl, carboxyalkoxy and formyl; wherein each of R⁴⁹ and R⁵⁰ is independently selected from hydrido, alkyl, benzyl, phenyl, alkoxyalkyl,

haloalkyl, hydroxyalkyl, cyano, amino, monoalkylamino, dialkylamino, carboxyalkyl and alkanoyl and

$\begin{array}{c} \text{O} \\ || \\ -\text{CR}^{51} \end{array}$
 wherein R^{51} is selected from hydroxy, alkoxy, amino and monoalkylamino; or a pharmaceutically-acceptable salt thereof.

29. Conjugate of Claim 28 wherein each of R^{45} through R^{48} is independently selected from hydrido, hydroxy, alkyl, alkoxy, haloalkyl, hydroxyalkyl, amino, monoalkylamino, carboxyl, carboxyalkyl aminomethyl, carboxyalkoxy and formyl; wherein each of R^{49} and R^{50} is independently selected from hydrido alkyl, amino, monoalkylamino, carboxyalkyl and

$\begin{array}{c} \text{O} \\ || \\ -\text{CR}^{51} \end{array}$
 wherein R^{51} is selected from hydroxy, alkoxy, amino and monoalkylamino; or a pharmaceutically-acceptable salt thereof.

30. Conjugate of Claim 29 wherein each of R^{45} through R^{48} is independently selected from hydrido, hydroxy, alkyl, alkoxy and hydroxyalkyl; wherein each of R^{49} and R^{50} is independently selected from alkyl, amino, monoalkylamino, and

$\begin{array}{c} \text{O} \\ || \\ -\text{CR}^{51} \end{array}$
 wherein R^{51} is selected from hydroxy, methoxy, ethoxy, propoxy, butoxy, amino, methylamino and ethylamino; or a pharmaceutically-acceptable salt thereof.

31. Conjugate of Claim 30 wherein said inhibitor compound is selected from endo-2-amino-1,2,3,4-tetrahydro-1,4-ethanonaphthalene-2-carboxylic acid; ethyl-endo-2-amino-1,2,3,4-tetrahydro-1,4-ethanonaphthalene-2-carboxylate hydrochloride; exo-2-amino-1,2,3,4-tetrahydro-

1,4-ethanonaphthalene-2-carboxylic acid; and ethyl-exo-2-amino-1,2,3,4-tetrahydro-1,4-ethanonaphthalene-2-carboxylate hydrochloride.

- 5 32. Conjugate of Claim 3 wherein said inhibitor compound is a dopa-decarboxylase inhibitor selected from
- 2,3-dibromo-4,4-bis(4-ethylphenyl)-2-butenic acid;
- 3-bromo-4-(4-methoxyphenyl)-4-oxo-2-butenic acid;
- 10 N-(5'-phosphopyridoxyl)-L-3,4-dihydroxyphenylalanine;
- N-(5'-phosphopyridoxyl)-L-m-aminotyrosine;
- D,L-b-(3,4-dihydroxyphenyl) lactate;
- D,L-b-(5-hydroxyindolyl-3) lactate;
- 2,4-dihydroxy-5-(1-oxo-2-propenyl)benzoic acid;
- 2,4-dimethoxy-5-[1-oxo-3-(2,3,4-trimethoxyphenyl)-2
- 15 propenyl]benzoic acid;
- 2,4-dihydroxy-5-[1-oxo-3-(2-thienyl)-2-propenyl] benzoic acid;
- 2,4-dihydroxy-5-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl] benzoic
- 20 acid;
- 5-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-2,4-dihydroxy benzoic acid;
- 2,4-dihydroxy-5-(1-oxo-3-phenyl-2-propenyl)benzoic acid;
- 25 2,4-dimethoxy-5-[1-oxo-3-(4-pyridinyl)-2-propenyl] benzoic acid;
- 5-[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]-2,4 dimethoxy benzoic acid;
- 2,4-dimethoxy-5-(1-oxo-3-phenyl-2-propenyl)benzoic acid;
- 30 5-[3-(2-furanyl)-1-oxo-2-propenyl]-2,4-dimethoxy benzoic acid;
- 2,4-dimethoxy-5-[1-oxo-3-(2-thienyl)-2-propenyl] benzoic acid;
- 2,4-dimethoxy-5-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]
- 35 benzoic acid;

5-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-2,4-dimethoxy
benzoic

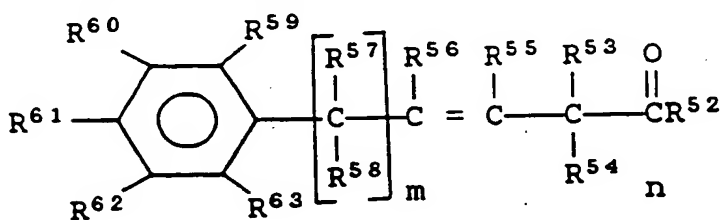
acid; and

5-[3-[4-(dimethylamino)phenyl]-1-oxo-2-propenyl]-2,4

5 dimethoxy

benzoic acid.

33. Conjugate of Claim 3 wherein said inhibitor
compound is a dopa-decarboxylase inhibitor of the formula:



wherein R⁵² is selected from hydrido, OR⁶⁴ and

$-\text{N} \begin{array}{l} \text{R}^{65} \\ \text{R}^{66} \end{array}$, wherein R⁶⁴ is selected from

hydrido, alkyl, cycloalkyl, cycloalkylalkyl, phenalkyl and
phenyl, and wherein each of R⁶⁵ and R⁶⁶ is independently
selected from hydrido, alkyl, alkanoyl, amino,
monoalkylamino, dialkylamino, phenyl and phenalkyl; wherein
each of R⁵³, R⁵⁴ and R⁵⁷ through R⁶³ is independently
selected from hydrido, hydroxy, alkyl, cycloalkyl,
cycloalkylalkyl, aralkyl, aryl, alkoxy carbonyl,
hydroxyalkyl, halo, cyano, amino, monoalkylamino,
dialkylamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl,
cycloalkenyl and alkynyl; wherein each of R⁵⁵ and R⁵⁶ is
independently selected from hydrido, alkyl, cycloalkyl,
cycloalkylalkyl, aralkyl, aryl, alkoxyalkyl, haloalkyl,
hydroxyalkyl and carboxyalkyl; wherein each of m and n is a
number independently selected from zero through six,
inclusive; or a pharmaceutically-acceptable salt thereof.

34. Conjugate of Claim 33 wherein R⁵² is OR⁶⁴
wherein R⁶⁴ is selected from hydrido, alkyl, cycloalkyl,

cycloalkylalkyl, benzyl and phenyl; wherein each of R⁵³, R⁵⁴ and R⁵⁷ through R⁶³ is independently selected from hydrido, alkyl, cycloalkyl, hydroxy, alkoxy, benzyl and phenyl; wherein each of R⁵⁵ and R⁵⁶ is independently
 5 selected from hydrido, alkyl, cycloalkyl, benzyl and phenyl; wherein each of m and n is a number independently selected from zero through three, inclusive; or a pharmaceutically-acceptable salt thereof.

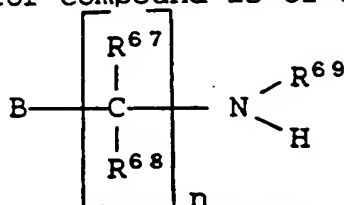
10 35. Conjugate of Claim 34 wherein R⁵² is OR⁶⁴ wherein R⁶⁴ is selected from hydrido and lower alkyl; wherein each of R⁵³ through R⁵⁸ is hydrido; wherein each of R⁵⁹ through R⁶³ is independently selected from hydrido, alkyl, hydroxy and alkoxy, with the proviso that two of the
 15 R⁵⁹ through R⁶³ substituents are hydroxy; wherein each of m and n is a number independently selected from zero through two, inclusive; or a pharmaceutically-acceptable salt thereof.

20 36. Conjugate of Claim 35 which is 3-(3,4-dihydroxyphenyl)-2-propenoic acid.

37. Conjugate of Claim 26 wherein said dopa-decarboxylase inhibitor is a compound selected from amino-haloalkyl-hydroxyphenyl propionic acids; alpha-halomethyl-phenylalanine derivatives; and indole-substituted
 25 halomethylamino acids.

38. Conjugate of Claim 26 wherein said dopa-decarboxylase inhibitor is a compound selected from
 30 isoflavone extracts from fungi and streptomyces; sulfinyl substituted dopa and tyrosine derivatives; hydroxycoumarin derivatives; 1-benzylcyclobutenyl alkyl carbamate derivatives; aryl/thienyl-hydroxylamine derivatives; and b-
 35 2-substituted-cyclohepta-pyrrol-8H-on-7-yl alanine derivatives.

39. Conjugate of Claim 3 wherein said dopamine- β -hydroxylase inhibitor compound is of the formula



wherein B is selected from an ethylenic moiety, an acetylenic moiety and an ethylenic or acetylenic moiety substituted with one or more radicals selected from substituted or unsubstituted alkyl, aryl and heteroaryl; wherein each of R^{67} and R^{68} is independently selected from hydrido and alkyl; wherein R^{69} is selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; and wherein n is a number selected from one through five; or a pharmaceutically-acceptable salt thereof.

40. Conjugate of Claim 39 wherein B is an ethylenic or an acetylenic moiety substituted with an aryl or heteroaryl radical; and wherein n is a number from one through three; or a pharmaceutically-acceptable salt thereof.

41. Conjugate of Claim 39 wherein B is an ethylenic or acetylenic moiety incorporating carbon atoms in the beta- and gamma-positions relative to the nitrogen atom; and wherein n is one; or a pharmaceutically-acceptable salt thereof.

42. Conjugate of Claim 41 wherein said ethylenic or acetylenic moiety is substituted at the gamma

carbon with an aryl or heteroaryl radical; or a pharmaceutically-acceptable salt thereof.

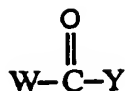
43. Conjugate of Claim 42 wherein said aryl radical is selected from phenyl, 2-thiophene, 3-thiophene, 2-furanyl, 3-furanyl, oxazolyl, thiazolyl and isoxazolyl, any one of which radicals may be substituted with one or more groups selected from halo, hydroxyl, alkyl, haloalkyl, cyano, alkoxy, alkoxyalkyl and cycloalkyl; or a pharmaceutically-acceptable salt thereof.

44. Conjugate of Claim 43 wherein said aryl radical is selected from phenyl, hydroxyphenyl, 2-thiophene and 2-furanyl; and wherein each of R⁶⁷, R⁶⁸ and R⁶⁹ is hydrido; or a pharmaceutically-acceptable salt thereof.

45. Conjugate of Claim 44 wherein said inhibitor compound is selected from the group consisting of
 3-amino-2-(2'-thienyl)propene;
 3-amino-2-(2'-thienyl)butene;
 3-(N-methylamino)-2-(2'-thienyl)propene;
 3-amino-2-(3'-thienyl)propene;
 3-amino-2-(2'-furanyl)propene;
 3-amino-2-(3'-furanyl)propene;
 1-phenyl-3-aminopropyne; and
 3-amino-2-phenylpropene.

46. Conjugate of Claim 44 wherein said inhibitor compound is selected from the group consisting of
 (±) 4-amino-3-phenyl-1-butyne;
 (±) 4-amino-3-(3'-hydroxyphenyl)-1-butyne;
 (±) 4-amino-3-(4'-hydroxyphenyl)-1-butyne;
 (±) 4-amino-3-phenyl-1-butene;
 (±) 4-amino-3-(3'-hydroxyphenyl)-1-butene; and
 (±) 4-amino-3-(4'-hydroxyphenyl)-1-butene.

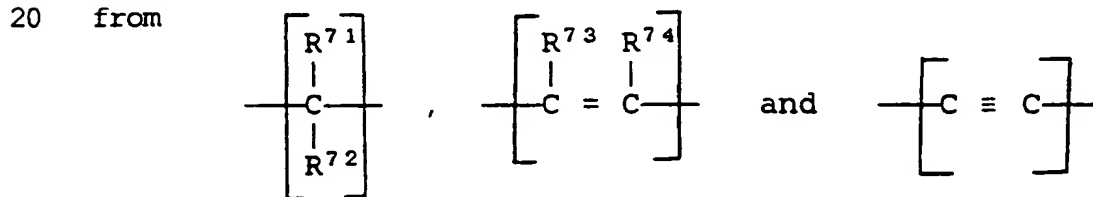
47. Conjugate of Claim 3 wherein said inhibitor compound is of the formula



5 wherein W is selected from alkyl, cycloalkyl, alkenyl, alkynyl, cycloalkenyl, cycloalkynyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl; wherein Y is selected from

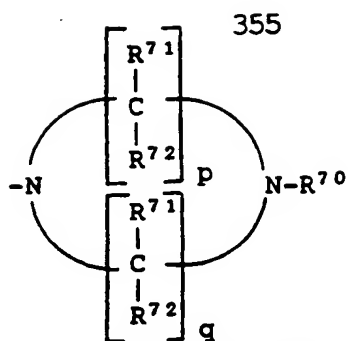


wherein R⁷⁰ is selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; wherein each of Q and T is one or more groups independently selected from



25 wherein each of R⁷¹ through R⁷⁴ is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, aryloxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, carboxy, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl and alkynyl; or a
30 pharmaceutically-acceptable salt thereof.

48. Conjugate of Claim 47 wherein W is heteroaryl and Y is



5

wherein R^{70} is selected from hydrido, alkyl, amino, monoalkylamino, dialkylamino, phenyl and phenalkyli wherein each of R^{71} and R^{72} is independently selected from hydrido, hydroxy, alkyl, phenalkyl, phenyl, alkoxy, benzyloxy, phenoxy, alkoxyalkyl, hydroxyalkyl, halo, amino, monoalkylamino, dialkylamino, carboxy, carboxyalkyl and alkanoyl; and wherein each of p and q is a number independently selected from one through six, inclusive; or a pharmaceutically-acceptable salt thereof.

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49. Conjugate of Claim 48 wherein R^{70} is selected from hydrido, alkyl, amino and monoalkylamino; wherein each of R^{71} and R^{72} is independently selected from hydrido, hydroxy, alkyl, alkoxy, amino, monoalkylamino, carboxy, carboxyalkyl and alkanoyl; and wherein each of p and q is a number independently selected from two through four, inclusive; or a pharmaceutically-acceptable salt thereof.

25

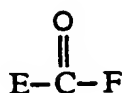
50. Conjugate of Claim 49 wherein R^{70} is selected from hydrido, alkyl and amino; wherein each of R^{71} and R^{72} is independently selected from hydrido, amino, monoalkylamino and carboxyl; and wherein each of p and q is independently selected from the numbers two and three; or a pharmaceutically-acceptable salt thereof.

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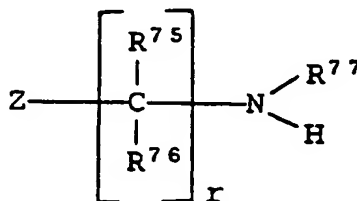
51. Conjugate of Claim 50 wherein R^{70} is hydrido; wherein each of R^{71} and R^{72} is hydrido; and wherein each of p and q is two; or a pharmaceutically-acceptable salt thereof.

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52. Conjugate of Claim 3 wherein said inhibitor compound is of the formula

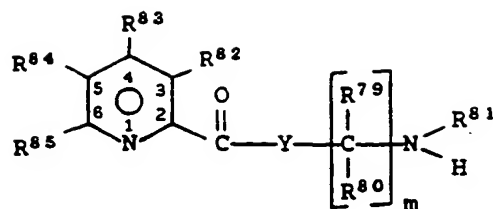


wherein E is selected from alkyl, cycloalkyl, alkenyl, alkynyl, cycloalkenyl, cycloalkynyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl; wherein F is selected from



wherein Z is selected from O, S and N-R⁷⁸; wherein each of R⁷⁵ and R⁷⁶ is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, aryloxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, minoalkylamino, dialkylamino, carboxy, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl and alkynyl; wherein R⁷⁵ and R⁷⁶ may form oxo or thio; wherein r is a number selected from zero through six, inclusive; wherein each of R⁷⁷ and R⁷⁸ is independently selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxy carbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl or a pharmaceutically acceptable salt thereof.

53. Conjugate of Claim 3 wherein said dopamine-β-hydroxylase inhibitor compound is of the formula



- 5 wherein each of R⁸² through R⁸⁵ is independently selected from hydrido, alkyl, haloalkyl, mercapto, alkylthio, cyano, alkoxy, alkoxyalkyl and cycloalkyl wherein Y is selected from oxygen atom and sulfur atom; wherein each of R⁷⁹ and R⁸⁰ is independently selected from hydrido and alkyl;
- 10 wherein R⁵⁹ is selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxy carbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; and wherein m
- 15 is a number from one through six; or a pharmaceutically-acceptable salt thereof.

54. Conjugate of Claim 53 wherein each of R⁸² through R⁸⁵ is independently selected from hydrido, alkyl and haloalkyl; wherein Y is selected from oxygen atom or nitrogen atom; wherein each of R⁷⁹, R⁸⁰ and R⁸¹ is independently hydrido and alkyl; and wherein m is a number selected from one through four, inclusive; or a pharmaceutically-acceptable salt thereof.

25

55. Conjugate of Claim 54 wherein said inhibitor compound is selected from

aminomethyl-5-n-butylthiopicolinate;

30 aminomethyl-5-n-butylpicolinate;

2'-aminoethyl-5-n-butylthiopicolinate;

2'-aminoethyl-5-n-butylpicolinate;

(2'-amino-1',1'-dimethyl)ethyl-5-n-butylthiopicolinate;

(2'-amino-1',1'-dimethyl)ethyl-5-n-butylpicolinate;

35 (2'-amino-1'-methyl)ethyl-5-n-butylthiopicolinate;

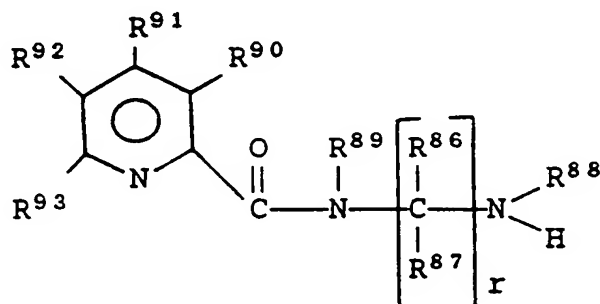
(2'-amino-1'-methyl)ethyl-5-n-butylpicolinate;

3'-aminopropyl-5-n-butylthiopicolinate;
 3'-aminopropyl-5-n-butylpicolinate;
 (2'-amino-2'-methyl)propyl-5-n-butylthiopicolinate;
 (2'-amino-2'-methyl)propyl-5-n-butylpicolinate;
 5 (3'-amino-1',1'-dimethyl)propyl-5-n-butylthiopicolinate;
 (3'-amino-1',1'-dimethyl)propyl-5-n-butylpicolinate;
 (3'-amino-2',2'-dimethyl)propyl-5-n-butylthiopicolinate;
 (3'-amino-2',2'-dimethyl)propyl-5-n-butylpicolinate;
 2'-aminopropyl-5-n-butylthiopicolinate;
 10 2'-aminopropyl-5-n-butylpicolinate;
 4'-aminobutyl-5-n-butylthiopicolinate;
 4'-amino-3'-methyl)butyl-5-n-butylthiopicolinate;
 (3'-amino-3'-methyl)butyl-5-n-butylthiopicolinate; and
 (3'-amino-3'-methyl)butyl-5-n-butylpicolinate.

15

56. Conjugate of Claim 47 wherein said
 inhibitor compound is of the formula

20



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wherein each of R⁸⁶, R⁸⁷ and R⁹⁰ through R⁹³ is
 30 independently selected from hydrido, hydroxy, alkyl,
 cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy,
 aralkoxy, aryloxy, alkoxyalkyl, haloalkyl, hydroxyalkyl,
 halo, cyano, amino, monoalkylamino, dialkylamino, carboxy,
 carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl and alkynyl;
 35 wherein R⁸⁶ and R⁸⁷ together may form oxo or thio; wherein
 r is a number selected from zero through six, inclusive;

wherein each of R⁸⁸ and R⁸⁹ is independently selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino,
5 monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; or a pharmaceutically-acceptable salt thereof.

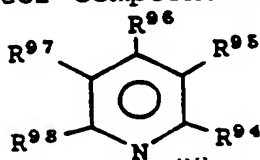
57. Conjugate of Claim 56 wherein each of R⁸⁶,
10 R⁸⁷ and R⁹⁰ through R⁹³ is independently selected from hydrido, hydroxy, alkyl, phenalkyl, phenyl, alkoxy, benzyloxy, phenoxy, alkoxyalkyl, hydroxyalkyl, halo, amino, monoalkylamino, dialkylamino, carboxy, carboxyalkyl and alkanoyl; wherein r is a number selected from zero through
15 four, inclusive; wherein each of R⁸⁸ and R⁸⁹ is independently selected from hydrido, alkyl, amino, monoalkylamino, dialkylamino, phenyl and phenalkyl; or a pharmaceutically-acceptable salt thereof.

58. Conjugate of Claim 57 wherein each of R⁸⁶,
20 R⁸⁷ and R⁹⁰ through R⁹³ is independently selected from hydrido, hydroxy, alkyl, alkoxy, amino, monoalkylamino, carboxy, carboxyalkyl and alkanoyl; and wherein r is a number selected from zero through three, inclusive; and
25 wherein each of R⁸⁸ and R⁸⁹ is selected from hydrido, alkyl, amino and monoalkylamino; or a pharmaceutically-acceptable salt thereof.

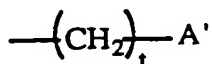
59. Conjugate of Claim 58 wherein each of R⁹⁰
30 through R⁹³ is independently selected from hydrido and alkyl; wherein each of R⁸⁶ and R⁸⁷ is hydrido; wherein r is selected from zero, one and two; wherein R⁸⁸ is selected from hydrido, alkyl and amino; and wherein R⁸⁹ is selected from hydrido and alkyl; or a pharmaceutically-acceptable
35 salt thereof.

60. Conjugate of Claim 59 wherein said inhibitor compound is 5-n-butylpicolinic acid hydrazide.

61. Conjugate of Claim 3 wherein said dopamine-
5 β -hydroxylase inhibitor compound is of the formula



wherein each of R⁹⁴ through R⁹⁸ is independently selected
10 from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, aryloxy, alkoxy, alkylthio, aralkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, amido, alkylamido, hydroxyamino, carboxyl, carboxyalkyl, alkanoyl, alkenyl,
15 cycloalkenyl, alkynyl, cyanoamino, carboxyl, thiocarbamoyl, aminomethyl, alkylsulfanamido, nitro, alkylsulfonyloxy, formoyl and alkoxycarbonyl; with the proviso that at least one of R⁹⁴ through R⁹⁸ is



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wherein A' is $-\overset{\text{O}}{\parallel}{\text{C}}\text{R}^{99}$ or $-\text{N} \begin{smallmatrix} \nearrow \text{R}^{101} \\ \searrow \text{R}^{102} \end{smallmatrix}$,

wherein R⁹⁹ is selected from hydrido, alkyl, hydroxy, alkoxy, alkylthio, phenyl, phenoxy, benzyl, benzyloxy,

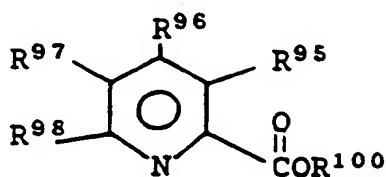
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$-\text{OR}^{100}$ and $-\text{N} \begin{smallmatrix} \nearrow \text{R}^{101} \\ \searrow \text{R}^{102} \end{smallmatrix}$, wherein R¹⁰⁰ is selected from

hydrido, alkyl, cycloalkyl, cycloalkylalkyl, phenyl and benzyl; wherein each of R¹⁰¹ and R¹⁰² is independently selected from hydrido, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, cycloalkylalkyl, alkoxyalkyl, aralkyl, aryl, alkanoyl, alkoxycarbonyl, carboxyl, amino, cyanoamino, monoalkylamino, dialkylamino, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; wherein t is a number
30

selected from zero through four, inclusive; or a pharmaceutically-acceptable salt thereof.

62. Conjugate of Claim 61 wherein said
5 inhibitor compound is of the formula



- 10 wherein each of R⁹⁵ through R⁹⁸ is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, phenyl, benzyl, alkoxy, phenoxy, benzyloxy, alkoxyalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, amido,
15 alkylamido, hydroxyamino, carboxyl, carboxyalkyl, alkanoyl, cyanoamino, carboxyl, thiocarbamoyl, aminomethyl, nitro, formoyl, formyl and alkoxycarbonyl; and wherein R¹⁰⁰ is selected from hydrido, alkyl, phenyl and benzyl; or a pharmaceutically-acceptable salt thereof.

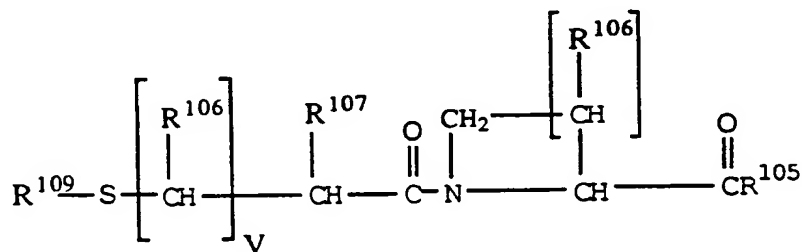
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63. Conjugate of Claim 62 wherein said
inhibitor compound is selected from
5-n-butylpicolinic acid;
25 5-ethylpicolinic acid;
1-collinlc acid;
5-nitropicolinic acid;
5-aminopicolinic acid;
5-N-acetylaminopicolinic acid;
30 5-N-propionylaminopicolinic acid;
5-N-hydroxyaminopicolinic acid;
5-iodopicolinic acid;
5-bromopicolinic acid;
5-chloropicolinic acid;
35 5-hydroxypicolinic acid
5-methoxypicolinic acid;

- 5-N-propoxypicolinic acid;
 5-N-butoxypicolinic acid;
 5-cyanopicolinic acid;
 5-carboxypicolinic acid;
 5 5-n-butyl-4-nitropicolinic acid;
 5-n-butyl-4-methoxypicolinic acid;
 5-n-butyl-4-ethoxypicolinic acid;
 5-n-butyl-4-aminopicolinic acid;
 5-n-butyl-4-hydroxyaminopicolinic acid; and
 10 5-n-butyl-4-methylpicolinic acid.

64. Conjugate of Claim 63 wherein said inhibitor compound is 5-n-butylpicolinic acid.

- 15 65. Conjugate of Claim 3 wherein said dopamine- β -hydroxylase inhibitor compound is of the formula



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wherein R¹⁰⁵ is hydrido, hydroxy, alkyl, amino and alkoxy;
 wherein R¹⁰⁶ is selected from hydrido, hydroxy and alkyl;
 wherein each of R¹⁰⁷ and R¹⁰⁸ is independently selected
 from hydrido, alkyl and phenalkyl; wherein R¹⁰⁹ is selected
 25 from hydrido and



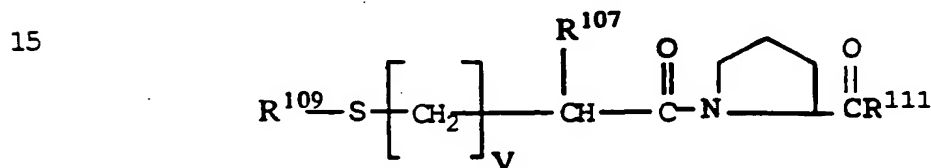
with R¹¹⁰ selected from alkyl, phenyl and phenalkyl;
 wherein u is a number from one to three, inclusive; and
 wherein v is a number from zero to two, inclusive; or a
 pharmaceutically-acceptable salt thereof.

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66. Conjugate of Claim 65 wherein R^{105} is selected from hydroxy and lower alkoxy; wherein R^{106} is hydrido; wherein R^{107} is selected from hydrido and lower alkyl; wherein R^{108} is hydrido; wherein R^{109} is selected from hydrido and

$\begin{array}{c} \text{O} \\ \parallel \\ \text{C}-\text{R}^{110} \end{array}$ with R^{110} selected from lower alkyl and phenyl; wherein u is two; and wherein v is a number from zero to two, inclusive; or a pharmaceutically-acceptable salt thereof.

67. Conjugate of Claim 66 wherein said inhibitor compound is of the formula



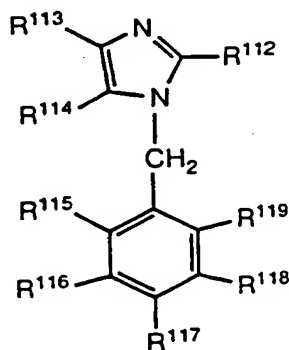
wherein R^{111} is selected from hydroxy and lower alkyl;
 20 wherein R^{107} is selected from hydrido and lower alkyl;
 wherein R^{109} is selected from hydrido and

$\begin{array}{c} \text{O} \\ \parallel \\ \text{C}-\text{R}^{110} \end{array}$ with R^{110} selected from lower alkyl and phenyl and v is a number from zero to two, inclusive; or a
 25 pharmaceutically-acceptable salt thereof.

68. Conjugate of Claim 67 wherein R^{111} is hydroxy; wherein R^{107} is hydrido or methyl; wherein R^{109} is hydrido or acetyl; and wherein n is a number from zero to
 30 two, inclusive; or a pharmaceutically-acceptable salt thereof.

69. Conjugate of Claim 68 wherein said inhibitor compound is 1-(3-mercapto-2-methyl-oxopropyl)-L-proline.

5 70. Conjugate of Claim 3 wherein said dopamine- β -hydroxylase inhibitor compound is of the formula



10 wherein each of R112 through R119 is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, alkoxyalkyl, aralkyl, aryl, alkoxycarbonyl, hydroxyalkyl, halo, haloalkyl, cyano, amino, aminoalkyl, monoalkylamino, dialkylamino, carboxyl, carboxyalkyl,
 15 alkanoyl, alkenyl, cycloalkenyl, alkynyl, mercapto and alkylthio; or a pharmaceutically-acceptable salt thereof.

71. Conjugate of claim 70 wherein R112 is selected from mercapto and alkylthio; wherein each of R113
 20 and R114 is independently selected from hydrido, amino, aminoalkyl, monoalkylamino, monoalkylaminoalkyl, carboxyl and carboxyalkyl; wherein each of R115 and R119 is hydrido; and wherein each of R116, R117 and R118 is independently selected from hydrido, hydroxy, alkyl, halo and haloalkyl;
 25 or a pharmaceutically-acceptable salt thereof.

72. Conjugate of Claim 71 wherein R112 is selected from amino, aminoalkyl, monoalkylamino, monoalkylaminoalkyl, carboxy and carboxyalkyl; wherein each

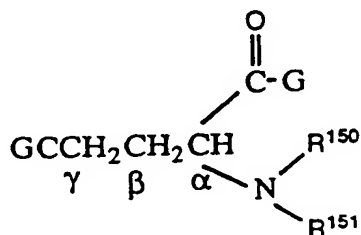
of R¹¹³, R¹¹⁴, R¹¹⁵ and R¹¹⁹ is hydrido; and wherein each of R¹¹⁶, R¹¹⁷ and R¹¹⁸ is independently selected from hydrido, hydroxy, alkyl, halo and haloalkyl; or a pharmaceutically-acceptable salt thereof.

5

73. Conjugate of Claim 2 wherein said precursor compound providing the second residue has a reactable acid moiety.

10

74. Conjugate of Claim 73 wherein said second residue precursor compound of said conjugate is selected from a class of glutamic acid derivatives of the formula



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wherein each of R¹⁵⁰ and R¹⁵¹ may be independently selected from hydrido, alkylcarbonyl, alkoxycarbonyl, alkoxyalkyl, hydroxyalkyl and haloalkyl; and wherein G is selected from hydroxyl, halo, mercapto, -OR¹⁵², -SR¹⁵³ and >NR^{154} with

20

each R¹⁵², R¹⁵³ and R¹⁵⁴ is independently selected from hydrido and alkyl; with the proviso that said glutamic acid derivative is selected such that formation of the cleavable bond occurs at the carbonyl moiety attached at the gamma-position carbon of said gamma-glutamic acid derivative.

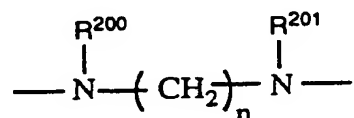
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75. Conjugate of Claim 74 wherein R¹¹⁰ wherein each G is hydroxy; wherein R¹⁵⁰ is hydrido; and wherein R¹⁵¹ is selected from

$\begin{array}{c} \text{O} \\ || \\ -\text{CR}^{155} \end{array}$ wherein R¹⁵⁵ is selected from methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, iso-butyl, tert-butyl, n-pentyl, neopentyl, n-hexyl and chloromethyl.

5 76. Conjugate of Claim 2 wherein said first and second residues are connected through a cleavable bond provided by a linker group between said first and second residues.

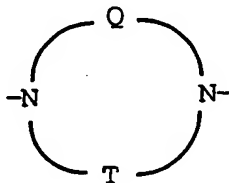
10 77. Conjugate of Claim 76 wherein said linker group is selected from a class of diamino-terminated linker groups of the formula



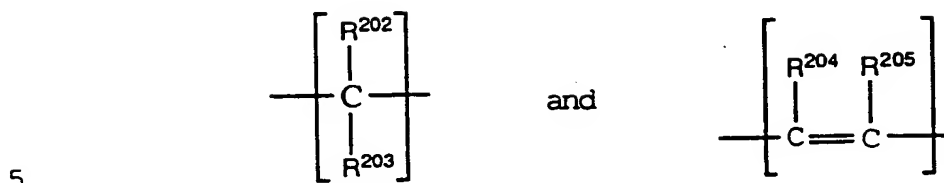
15 wherein each of R²⁰⁰ and R²⁰¹ may be independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, hydroxyalkyl, aralkyl, aryl, haloalkyl, amino, monoalkylamino, dialkylamino, cyanoamino, carboxyalkyl,
 20 alkylsulfinio, alkylsulfonyl, arylsulfinyl and arylsulfonyl; and wherein n is zero or a number selected from three through seven, inclusive.

25 78. Conjugate of Claim 77 wherein each of R²⁰⁰ and R²⁰¹ is hydrido; and wherein n is zero.

79. Conjugate of Claim 76 wherein said linker group is selected from diamino terminal linker groups of the formula



wherein each of Q and T is one or more groups independently selected from

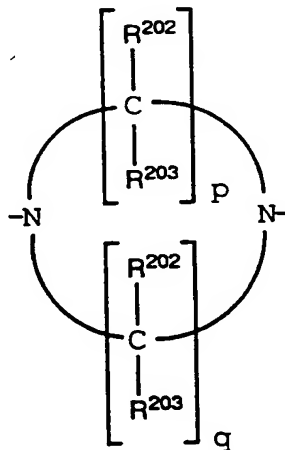


wherein each of R²⁰² through R²⁰⁵ is independently selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, aryl, alkoxy, aralkoxy, aryloxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, halo, cyano, amino, monoalkylamino, dialkylamino, carboxy, carboxyalkyl, alkanoyl, alkenyl, cycloalkenyl and alkynyl.

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80. Conjugate of Claim 79 wherein said linker group is of the formula

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wherein each of R²⁰² and R²⁰³ is independently selected from hydrido, hydroxy, alkyl, phenalkyl, phenyl, alkoxy, benzyloxy, phenoxy, alkoxyalkyl, hydroxyalkyl, halo, amino, monoalkylamino, dialkylamino, carboxy, carboxyalkyl and alkanoyl; and wherein each of p and q is a number independently selected from one through six, inclusive; with

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the proviso that when each of R²⁰² and R²⁰³ is selected from halo, hydroxy, amino, monoalkylamino and dialkylamino, then the carbon to which R²⁰² or R²⁰³ is attached not adjacent to a nitrogen atom.

5

81. Conjugate of Claim 80 wherein said linker group is selected from divalent radicals wherein each of R²⁰² and R²⁰³ is independently selected from hydrido, hydroxy, alkyl, alkoxy, amino, monoalkylamino, carboxy, carboxyalkyl and alkanoyl; and wherein each of p and q is a number independently selected from two through four, inclusive.

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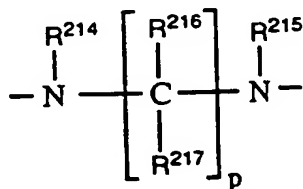
82. Conjugate of Claim 81 wherein each of R²⁰² and R²⁰³ is independently selected from hydrido, amino, monoalkylamino and carboxyl; and wherein each of p and q is independently selected from the numbers two and three.

15

83. Conjugate of Claim 82 wherein each of R²⁰² and R²⁰³ is hydrido; and wherein each of p and q is two.

20

84. Conjugate of Claim 76 wherein said linker group is selected from diamino terminal linker groups of the formula



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wherein each of R²¹⁴ through R²¹⁷ is independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, aralkyl, aryl, haloalkyl, amino, monoalkylamino, dialkylamino, cyanoamino, carboxyalkyl, alkylsulfinyl, alkylsulfonyl, arylsulfinyl and arylsulfonyl; and wherein p is a number selected from one through six, inclusive.

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85. Conjugate of Claim 84 wherein each of R²¹⁴ and R²¹⁵ is hydrido; wherein each of R²¹⁶ and R²¹⁷ is independently selected from hydrido, alkyl, phenalkyl, phenyl, alkoxyalkyl, hydroxyalkyl, haloalkyl and carboxyalkyl; and wherein p is two or three.

86. Conjugate of Claim 86 wherein each of R²¹⁴ and R²¹⁵ is hydrido; wherein each of R²¹⁶ and R²¹⁷ is independently selected from hydrido and alkyl; and wherein p is two.

87. Conjugate of Claim 86 wherein each of R²¹⁴ through R²¹⁷ is hydrido; and wherein p is two.

88. Conjugate of Claim 3 selected from the group consisting of:
 4-amino-4-carboxy-1-oxobutyl- α -methyl-L-tyrosine, methyl ester;
 N-[4-(acetylamino)-4-carboxy-1-oxobutyl]- α -methyl-L-tyrosine, methyl ester;
 N-[4-(acetylamino)-4-carboxy-1-oxobutyl]- α -methyl-L-tyrosine;
 4-amino-4-carboxy-1-oxobutyl-3-hydroxy- α -methyl-L-tyrosine, methyl ester;
 N-[4-(acetylamino)-4-carboxy-1-oxobutyl]-3-hydroxy- α -methyl-L-tyrosine, methyl ester;
 N-[4-(acetylamino)-4-carboxy-1-oxobutyl]-3-hydroxy- α -methyl-L-tyrosine;
 L-glutamic acid, 5-[[(5-butyl-2-pyridinyl) carbonyl] hydrazide];
 N-acetyl-L-glutamic acid, 5-[(5-butyl-2-pyridinyl) - carbonyl] hydrazide;
 N-[2-[[(5-butyl-2-pyridinyl) carbonyl] amino] ethyl]-L-glutamine;
 N²-acetyl-N-[2-[[(5-butyl-2-pyridinyl) carbonyl] amino] ethyl]-L-glutamine;
 2-amino-5-[4-[(5-butyl-2-pyridinyl) carbonyl]-1-piperazinyl]-5-oxopentanoic acid;

2-(acetylamino)-5-(4-[(5-butyl-2-pyridinyl)carbonyl]-1-piperazinyl)-5-oxopentanoic acid; and
N²-acetyl-N-[2-[(5-butyl-2-pyridinyl)carbonyl]amino]ethyl]-L-glutamine, ethyl ester.

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89. Conjugate of Claim 8 which comprises a first residue provided by a tyrosine hydroxylase inhibitor compound and a second residue provided by a gamma glutamic acid derivative.

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90. Conjugate of Claim 89 which is 4-amino-4-carboxy-1-oxobutyl- α -methyl-L-tyrosine, methyl ester.

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91. Conjugate of Claim 89 which is N-[4-(acetylamino)-4-carboxy-1-oxobutyl]- α -methyl-L-tyrosine, methyl ester.

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92. Conjugate of Claim 89 which is N-[4-(acetylamino)-4-carboxy-1-oxobutyl]- α -methyl-L-tyrosine;
4-amino-4-carboxy-1-oxobutyl-3-hydroxy- α -methyl-L-tyrosine, methyl ester.

25

93. Conjugate of Claim 25 which comprises a first residue provided by a dopa-decarboxylase inhibitor compound and a second residue provided by a gamma glutamic acid derivative.

30

94. Conjugate of Claim 93 which is 4-amino-4-carboxy-1-oxobutyl-3-hydroxy- α -methyl-L-tyrosine, methyl ester.

35

95. Conjugate of Claim 93 which is N-[4-(acetylamino)-4-carboxy-1-oxobutyl]-3-hydroxy- α -methyl-L-tyrosine, methyl ester.

96. Conjugate of Claim 93 which is N-[4-(acetylamino)-4-carboxy-1-oxobutyl]-3-hydroxy- α -methyl-L-tyrosine.

5 97. Conjugate of Claim 64 which comprises a first residue provided by a dopamine- β -hydroxylase inhibitor compound and a second residue provided by a gamma glutamic acid derivative.

10 98. Conjugate of Claim 97 which is L-glutamic acid, 5-[[(5-butyl-2-pyridinyl)carbonyl]hydrazide).

99. Conjugate of Claim 97 which is N-acetyl-L-glutamic acid, 5-[(5-butyl-2-pyridinyl)-carbonyl]hydrazide.

15

100. Conjugate of Claim 97 which is N-[2-[[(5-butyl-2-pyridinyl)carbonyl]amino]ethyl]-L-glutamine.

20 101. Conjugate of Claim 97 which is N²-acetyl-N-[2-[[(5-butyl-2-pyridinyl)carbonyl]amino]ethyl]-L-glutamine.

102. Conjugate of Claim 97 which is 2-amino-5-[4-[(5-butyl-2-pyridinyl)carbonyl]-1-piperazinyl]-5-oxopentanoic acid.

25

103. Conjugate of Claim 97 which is 2-(acetylamino)-5-(4-[(5-butyl-2-pyridinyl)carbonyl]-1-piperazinyl)-5-oxopentanoic acid.

30 104. Conjugate of Claim 97 which is N²-acetyl-N-[2-[[(5-butyl-2-pyridinyl)carbonyl]amino]ethyl]-L-glutamine, ethyl ester.

35 105. A pharmaceutical composition comprising one or more pharmaceutically-acceptable carriers or diluents and a therapeutically-effective amount of a conjugate of Claim 1.

106. A method for treating a hypertensive-related disorder or a sodium-retaining disorder, said method comprising administering to a patient afflicted with or
5 susceptible to said disorder a therapeutically-effective amount of a conjugate of Claim 1.

107. The method of Claim 106 wherein said hypertensive-related disorder is chronic hypertension.
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108. The method of Claim 106 wherein said sodium-retaining disorder is congestive heart failure.

109. The method of Claim 106 wherein said sodium-retaining disorder is cirrhosis.
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110. The method of Claim 106 wherein said sodium-retaining disorder is nephrosis.

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